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         AUG 24
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                 U.S. patents
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                 Taiwanese Content Expanded
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         OCT 21
                 Derwent World Patents Index enhanced with human
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                 Utility Models
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         NOV 23 Annual Reload of IFI Databases
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NEWS 12
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         DEC 01
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                 feature for sorting BLAST answer sets
                 Derwent World Patent Index: Japanese FI-TERM
NEWS 14
         DEC 02
                 thesaurus added
NEWS 15
         DEC 02
                 PCTGEN enhanced with patent family and legal status
                 display data from INPADOCDB
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                 sequence information
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                 INPADOCDB and INPAFAMDB Enriched with New Content
                 and Features
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NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

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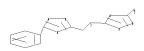
Please note that search-term pricing does apply when conducting SmartSELECT searches.

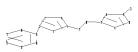
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chain nodes :
17 18 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
2-15 5-17 7-18 9-21 17-18
ring bonds :
1 - 2 \quad 1 - 5 \quad 2 - 3 \quad 3 - 4 \quad 4 - 5 \quad 6 - 7 \quad 6 - 10 \quad 7 - 8 \quad 8 - 9 \quad 9 - 10 \quad 11 - 12 \quad 11 - 16 \quad 12 - 13 \quad 13 - 14
14-15 15-16
exact/norm bonds :
1-2 1-5 4-5 6-7 6-10 7-8 7-18 8-9 9-10 9-21 17-18
exact bonds :
2-3 2-15 3-4 5-17
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 6 : 11 :
```

G1:0, N, CH2

G2:Hy,Ph

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 O,N,CH2 G2 Hy,Ph

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:43:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 257 TO 903

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:43:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 553 TO ITERATE

100.0% PROCESSED 553 ITERATIONS 38 ANSWERS

SEARCH TIME: 00.00.01

L3 38 SEA SSS FUL L1

10588702.trn 02/22/2010 Page 4

=> FIL HCAPLUS COST IN U.S. DOLLARS

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HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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L46 L3

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ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:524220 HCAPLUS

150:494877 DOCUMENT NUMBER:

Preparation of amino 1,2,4-triazole derivatives as TITLE:

modulators of mGluR5

Isaac, Methvin; Waallberg, Andreas Astrazeneca AB, Swed. INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 71pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English GΙ

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

P	PATENT NO.					D	DATE			APPL	ICAT	ION I	.00		D	ATE	
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		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
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		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,
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		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
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GT		.~,•															

The title compds. I [R1 = Me, halo, CN; R2 = H or F; R3, R4 = alkyl, AΒ cyclopropyl; R5 = H, alkyl, cyclopropyl; X = isoxazole, triazole, tetrazole, etc.; Z = (un)substituted pyrimidinyl, pyrazinyl, pyridazinyl, etc.], useful as modulators of mGluR5, were prepared E.g., a multi-step synthesis of II, starting from [5-(3-chlorophenyl)isoxazol-3-yl]methyl methanesulfonate with cyclopropylamine, was given. II showed IC50 of 41 nM against human mGluR5d in FLIPR assay. Pharmaceutical compns. comprising compound I, alone or in combination with other therapeutic agent, are disclosed.

IT 1147756-42-0P 1147756-45-3P 1147756-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of substituted 1,2,4-triazolamines as modulators of mGluR5)

RN 1147756-42-0 HCAPLUS

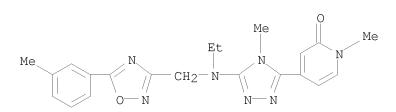
CN 3(2H)-Pyridazinone, 5-[5-[[[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]methyl]ethylamino]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 1147756-45-3 HCAPLUS

CN 3(2H)-Pyridazinone, 5-[5-[ethyl[[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]methyl]amino]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 1147756-48-6 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[ethyl[[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]methyl]amino]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:524183 HCAPLUS

DOCUMENT NUMBER: 150:472725

TITLE: Preparation of 1,2,4-triazole aryl N-oxides

derivatives as modulators of mGluR5

INVENTOR(S): Granberg, Kenneth; Waallberg, Andreas

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 51pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.								APPL							
WO 200				 A1		2009									0081	
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	FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
	KG,	KM,	KN,	ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, Pl. PT.					MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
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	ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
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	ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
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$$\mathbb{R}^1$$
 \mathbb{R}^2
 \mathbb{R}^2

The title compds. I [R1 = Me, halo, CN; R2 = H or F; X = isoxazole, AΒ triazole, tetrazole, etc.; Y = triazolylpiperidinyl, triazolylpyrrolidinyl, triazolylaminoalkyl, etc.], useful as modulators of mGluR5, were prepared $\,$ Thus, treating (pyridin-4-yl)-4H-1,2,4-triazol-3-amine with hydrogen peroxide afforded 58% (1S)-II which showed IC50 of 81 nM against human mGluR5d in FLIPR assay. Pharmaceutical compns. comprising compound I, alone or in

ΙI

combination with other therapeutic agent, are disclosed.

IT 1147105-70-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,2,4-triazole aryl N-oxides derivs. as modulators of mGluR5)

RN 1147105-70-1 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(1-oxido-4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

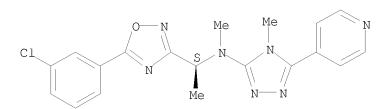
IT 870974-34-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 1,2,4-triazole aryl N-oxides derivs. as modulators of mGluR5)

RN 870974-34-8 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:445018 HCAPLUS

DOCUMENT NUMBER: 148:449638

TITLE: Preparation of substituted

phenylheteroarylalkoxytriazoles for use as mGluR5

modulators

INVENTOR(S): Isaac, Methvin; Slassi, Abdelmalik; Edwards, Louise;

Dove, Peter; Xin, Tao; Stefanac, Tomislav

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN		DATE				LICAT				D.	ATE	
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 148:449638

GΙ

AB Title compds. I [R1 = Me, halo, or CN; R2 = H or F; R3 and R4 independently = H or alkyl; R5 = alkyl or cyclopropyl; X = oxazolyl, oxadiazolyl, or tetrazolyl; Z = (un)substituted heteroaryl], and their pharmaceutically acceptable salts, are prepared and disclosed as mGluR5 modulators. Thus, e.g., II was prepared by coupling of (1R)-1-[5-(3-chlorophenyl)isoxazol-3-yl]ethanol (preparation given) and 4-(5-methanesulfonyl-4-methyl-4H-[1,2,4]triazol-3-yl)-1-methyl-1H-pyridin-2-one (preparation given). Select I were evaluated in FLIPR mGluR5 assays, e.g., II demonstrated an IC50 value of 19 nM.

IT 1018680-65-3P 1018680-71-1P 1018680-74-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Ι

(preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators)

RN 1018680-65-3 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 1018680-71-1 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1018680-74-4 HCAPLUS

CN 3(2H)-Pyridazinone, 5-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 1018681-07-6P 1018681-09-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators)

RN 1018681-07-6 HCAPLUS

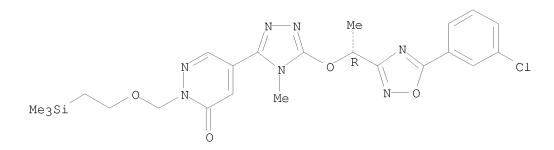
CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1018681-09-8 HCAPLUS

3(2H) - Pyridazinone, 5-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-CN yl]ethoxy]-4-methyl-4H-1, 2, 4-triazol-3-yl]-2-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 3

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

144:36353 DOCUMENT NUMBER:

TITLE: Preparation of heteropolycyclic compounds and their

use as metabotropic glutamate receptor antagonists Edwards, Louise; Isaac, Methvin; Johansson, Martin;

INVENTOR(S): Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis,

Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao;

Arora, Jalaj

Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc. PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	PATENT NO.					DATE			APPL	ICAT	ION 1	NO.		D	ATE		
US 2005		779		A1		2005			US 2	005-	5375	2		2	0050	209	
US 7585 AU 2005		08		В2 А1		2009 2006			AU 2	005-	2702	08		2	0050	215	
CA 2555		0.5		A1					CA 2					_	0050		
WO 2006	•		AL,	A1 20060209 AM, AT, AU, AZ,				WO 2 BB,			. –	BY,		0050: CA,	-		
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Page 13

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PRIORITY APPLN. INFO.:
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                                                                   A3 20050209
                                              CN 2005-80004306
                                                                   A3 20050215
                                              WO 2005-US4774
                                                                      20050215
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353 GI

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists.

For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl) pyridine in THF at $-78\,^{\circ}\text{C}$ for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4] oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

IT 870974-57-5P

RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870974-57-5 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)- α -methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 870974-18-8P 870974-34-8P 870974-43-9P 871028-86-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870974-18-8 HCAPLUS

CN Pyridine, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]=thoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 870974-34-8 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 870974-43-9 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 871028-86-3 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (α R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

660423-10-9P	870973-98-1P	870973-99-2P
870974-01-9P	870974-02-0P	870974-03-1P
870974-12-2P	870974-14-4P	870974-17-7P
870974-19-9P	870974-23-5P	870974-25-7P
870974-26-8P	870974-27-9P	870974-40-6P
870974-41-7P	870974-54-2P	870974-55-3P
870974-56-4P		
	870974-01-9P 870974-12-2P 870974-19-9P 870974-26-8P 870974-41-7P	870974-01-9P 870974-02-0P 870974-12-2P 870974-14-4P 870974-19-9P 870974-23-5P 870974-26-8P 870974-27-9P 870974-41-7P 870974-54-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 660423-10-9 HCAPLUS

CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl] ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870973-98-1 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870973-99-2 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl] = thyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-01-9 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-02-0 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-03-1 HCAPLUS

CN Pyridine, 4-[4-methyl-5-[2-[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]ethyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ N \\ \hline \\ N-N \end{array} \\ CH_2-CH_2 \\ \hline \\ N-O \end{array} \\ Me$$

RN 870974-12-2 HCAPLUS

CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl] ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-14-4 HCAPLUS

CN Pyridine, 3-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870974-17-7 HCAPLUS

CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl] propoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-19-9 HCAPLUS

CN Pyridine, 4-[5-[(1S)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl] = thoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 870974-23-5 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α -dimethyl-N-[4-methyl-5-(3-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-25-7 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, N-methyl-5-(3-methylphenyl)-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-26-8 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(5-chloro-2-fluorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-27-9 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(4-chlorophenyl)-N-cyclopropyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-40-6 HCAPLUS

CN Benzonitrile, 3-[3-[[[5-(2-methoxy-4-pyridinyl)-4-methyl-4H-1,2,4-triazol-3-yl]methylamino]methyl]-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)

RN 870974-41-7 HCAPLUS

CN Benzonitrile, 3-[3-[[methyl[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]amino]methyl]-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)

RN 870974-54-2 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-[4-cyclopropyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-N-methyl- (CA INDEX NAME)

RN 870974-55-3 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N, α , α -trimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870974-56-4 HCAPLUS

CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]-1-methylethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

IT 660422-23-1P, 5-(3-Chloropheny1)-3-[[[4-ethy1-5-(thiophen-2-y1)-

4H-[1,2,4]triazol-3-yl]oxy]methyl]-[1,2,4]oxadiazole

660422-24-2P 660422-83-3P 660422-84-4P

870973-27-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 660422-23-1 HCAPLUS

CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)

RN 660422-24-2 HCAPLUS

CN Pyridine, 4-[5-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 660422-83-3 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl] propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 660422-84-4 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 870973-27-6 HCAPLUS

CN Pyridine, 4-[5-[[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c}
N & N & N & N \\
N-N & N-O & N-O
\end{array}$$

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

Page 22

ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:888916 HCAPLUS

DOCUMENT NUMBER: 143:242011

TITLE: Heterocyclic compounds for the treatment of

gastro-esophageal reflux disease

Lehmann, Anders; Mattsson, Jan; Nilsson, Karolina INVENTOR(S): PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc. SOURCE:

PCT Int. Appl., 130 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.				KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
WO	2005	 0773	 45			_	2005	 0825		 WO 2	 005-	 US33	 6		2	0050	107
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PRIORITY APPLN. INFO.: US 2004-541056F

OTHER SOURCE(S): MARPAT 143:242011

GΙ

AΒ The present invention relates to the use of a heterocyclic compound such as I for the inhibition of transient lower esophageal sphincter relaxations and for the treatment of gastro-esophageal reflux disease.

660422-23-1 660422-24-2 660422-83-3 TΤ

660422-84-4 660423-10-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (heterocyclic compds. for the treatment of gastroesophageal reflux disease)

660422-23-1 HCAPLUS RN

CN 1, 2, 4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1, 2, 4triazol-3-yl]oxy]methyl]- (CA INDEX NAME)

RN 660422-24-2 HCAPLUS

CN Pyridine, 4-[5-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 660422-83-3 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl] propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 660422-84-4 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 660423-10-9 HCAPLUS

CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl] ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as

mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora,

Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin;

Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION 1	. O <i>V</i>		DZ	ATE	
WO WO	2004						2004			WO 2	003-	US24	846		20	0030	808
WO									D.7	DD	DO	DD	DV	DE	O 7	OII	ONT
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							DK,										
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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	${ m MZ}$,	NΙ,	NO,	NΖ,	OM,
		PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,								SL,	SY,	ТJ,	TM,	TN,			
		TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM								ZM,	ZW						
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	2003																
JP	2006	5030	09		Τ		2006	0126		JP 2	004-	5278	72		20	0030	808

CN	1894241	A	20070110	CN	2003-823845		20030808
NZ	538225	A	20080530	NZ	2003-538225		20030808
RU	2352568	C2	20090420	RU	2005-106844		20030808
ZA	2005000886	A	20060726	ZA	2005-886		20050131
IN	2005DN00486	A	20070119	ΙN	2005-DN486		20050208
MX	2005001594	A	20050920	MX	2005-1594		20050209
NO	2005001225	A	20050509	ИО	2005-1225		20050309
US	20060122397	A1	20060608	US	2005-274611		20051114
US	7456200	B2	20081125				
PRIORITY	Y APPLN. INFO.:			US	2002-402040P	Р	20020809
				US	2003-637012	вЗ	20030808
				WO	2003-US24846	W	20030808

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:199331
GI

$$R^{1}m$$
 P
 M^{1}
 $R^{2}n$
 X^{2}
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The present invention relates to five-membered heterocyclic compds. (shown AΒ as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are $\leq 10~\mu\text{M}$; no values for individual compds. are given. Methods of preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥ 1 C, N, O and S, wherein said ring may be substituted by ≥ 1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(C0)NR5,

C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 =H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, CO-3alkyl, halo, $C\bar{0}$ -3alkylOR5, $C\bar{0}$ -3-alkylNR5R6, $C\bar{0}$ -3alkyl($C\bar{0}$)OR5, $C\bar{0}$ -3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, O and S and which fused ring may be substituted by ≥ 1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥ 1 atoms = C, N, O or S, wherein said ring may be substituted by ≥ 1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

IT 660422-23-1P 660422-24-2P 660422-83-3P 660422-84-4P 660423-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of five-membered heterocyclic compds. as mGluR5 receptor antagonists)

RN 660422-23-1 HCAPLUS

CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)

RN 660422-24-2 HCAPLUS

CN Pyridine, 4-[5-[[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{C1} \\ & & \\ & & \\ N & N & O & CH_2 & \\ & & N & O & F \end{array}$$

RN 660422-83-3 HCAPLUS

CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 660422-84-4 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

RN 660423-10-9 HCAPLUS

CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

Page 28

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FILE 'REGISTRY' ENTERED AT 10:42:58 ON 22 FEB 2010

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L3 38 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:43:28 ON 22 FEB 2010

L4 6 S L3

L5 1 S L4 AND PY<=2004

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847197 RECEPTOR

781201 RECEPTORS

1017645 RECEPTOR

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L3
             38 S L1 SSS FULL
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     ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:143126 HCAPLUS
DOCUMENT NUMBER:
                             140:199331
                            Preparation of five-membered heterocyclic compounds as
TITLE:
                            mGluR5 receptor antagonists
                             Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora,
INVENTOR(S):
                             Jalaj; Edwards, Louise; Isaac, Methvin; Slassi,
                             Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.;
                             Kers, Annika; Malmberg, Johan; Oscarsson, Karin;
                             Gyback, Helena; Johansson, Martin; Minidis, Alexander;
                             Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer
                             Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.
PATENT ASSIGNEE(S):
SOURCE:
                             PCT Int. Appl., 318 pp.
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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     WO 2004014881
                            A2 20040219
A3 20040527
                                                  WO 2003-US24846
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               PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
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                             B2 20090702
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EP 1529045 A2 20050511 EP 2003-785036 20030808
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     BR 2003013265 A
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CN 1894241 A
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20060126 TR 2004-527872 20030808
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MX 2005001594	A	20050920	MX	2005-1594		20050209
NO 2005001225	А	20050509	NO	2005-1225		20050309
US 20060122397	A1	20060608	US	2005-274611		20051114
US 7456200	В2	20081125				
PRIORITY APPLN. INFO.:			US	2002-402040P	P	20020809
			US	2003-637012	В3	20030808
			WO	2003-US24846	W	20030808

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:199331

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 P
 M^{1}
 $R^{3}n$
 M^{2}
 X^{2}
 X^{2}
 X^{3}
 X^{4}
 X^{2}
 X^{4}
 X^{2}
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 X^{4}

AΒ The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are $\leq 10 \, \mu \text{M}$; no values for individual compds. are given. Methods of preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with[3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3to 8-membered ring containing ≥ 1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥ 1 C, N, O and S, wherein said ring may be substituted by ≥ 1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(C0)NR5, C0-3alkyl(C0)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 =H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, $\overline{C0}$ -3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(C0)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl,

C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥ 1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, O and S and which fused ring may be substituted by ≥ 1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥ 1 atoms = C, N, O or S, wherein said ring may be substituted by ≥ 1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

=> d 16 ibib abs tot

INVENTOR(S):

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their

use as metabotropic glutamate receptor antagonists Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis,

Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao;

Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	NO.	. KIND DATE							APPL	ICAT	ION :	NO.		D.	ATE		
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353 GI

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

ΙI

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

=> d 17 ibib abs tot

INVENTOR(S):

L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their

use as metabotropic glutamate receptor antagonists Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao;

Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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US 20080015234	A1	20080117	US	2007-840952		20070818
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PRIORITY APPLN. INFO.:			US	2004-608960P	P	20040218
			US	2005-53752	А3	20050209
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353 GI

GI

$$\begin{array}{c|c} O-N & Me \\ N & N-N \end{array}$$

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

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OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

=> d 18 ibib abs tot

L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists

INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin;

Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao;

Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353

GI

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4] oxadiazole in THF gave I. compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

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THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 6 (7 CITINGS)

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as

mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora,

> Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin;

Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	NO. KIND							APPL	ICAT	D.	DATE					
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PRIORITY APPLN. INFO.:
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:199331
GI

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AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are $\leq \! 10~\mu \mathrm{M}$; no values for individual compds. are given. Methods of

preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥ 1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(C0)NR5,C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 =H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, CO-3alkyl, halo, $\overline{C0}$ -3alkylOR5, $\overline{C0}$ -3-alkylNR5R6, $\overline{C0}$ -3alkyl($\overline{C0}$)OR5, $\overline{C0}$ -3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Qis a 5- or 6-membered ring containing ≥ 1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, O and S and which fused ring may be substituted by ≥ 1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥ 1 atoms = C, N, O or S, wherein said ring may be substituted by ≥ 1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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INVENTOR(S):

L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS

DOCUMENT NUMBER: 144:36353

TITLE: Preparation of heteropolycyclic compounds and their

use as metabotropic glutamate receptor antagonists Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac,

Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao;

Arora, Jalaj

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE APPLICATION NO.
            PATENT NO.
                                                           A1 20051208 US 2005-53752
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PRIORITY APPLN. INFO.:
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353
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DATE

10588702.trn 02/22/2010 Page 40

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4] oxadiazole in THF gave I. compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

ΙI

THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 6 (7 CITINGS)

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as

mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora,

> Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin;

Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	PATENT NO. KIND					DATE			APPL	ICAT	DATE						
WO 200 WO 200				A2 A3		20040219 WO 2003-US24846 20040527							20030808				
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                                20081125
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PRIORITY APPLN. INFO.:
                                                                P 20020809
                                            US 2003-637012
                                                               B3 20030808
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                                           WO 2003-US24846
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:199331

$$R^{1}m$$
 P
 M^{1}
 $R^{3}n$
 M^{2}
 X^{4}
 $R^{4}m$
 $N = 0$
 $N = 0$

AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are $\leq\!10$ $\mu\mathrm{M}$; no values for individual compds. are given. Methods of preparation are

claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥ 1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(C0)NR5,C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 =H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, CO-3alkyl, halo, $\overline{C0}$ -3alkylOR5, $\overline{C0}$ -3-alkylNR5R6, $\overline{C0}$ -3alkyl($\overline{C0}$)OR5, $\overline{C0}$ -3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(C0)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Qis a 5- or 6-membered ring containing ≥ 1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥ 1 C, N, O and S and which fused ring may be substituted by ≥ 1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥ 1 atoms = C, N, O or S, wherein said ring may be substituted by ≥ 1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.05	-11.05

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